

11/291216

\$%^STN;HighlightOn=;HighlightOff=;Version Version = STN Express 8.01a;  
=> s 16  
SAMPLE SEARCH INITIATED 13:06:05 FILE 'REGISTRY'  
SAMPLE SCREEN SEARCH COMPLETED - 8476 TO ITERATE

23.6% PROCESSED 2000 ITERATIONS 3 ANSWERS  
INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)  
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE \*\*COMPLETE\*\*  
BATCH \*\*COMPLETE\*\*  
PROJECTED ITERATIONS: 164002 TO 175038  
PROJECTED ANSWERS: 41 TO 467

L7 3 SEA SSS SAM L6

=> s 16 sss full  
FULL SEARCH INITIATED 13:06:20 FILE 'REGISTRY'  
FULL SCREEN SEARCH COMPLETED - 169762 TO ITERATE

100.0% PROCESSED 169762 ITERATIONS 321 ANSWERS  
SEARCH TIME: 00.00.04

L8 321 SEA SSS FUL L6

=> save l8  
ENTER NAME OR (END):ten565066  
TEN565066 IS NOT A VALID SAVED NAME  
Enter the name you wish to use for the saved query,  
answer set, or L-number list. The name must:  
1. Begin with a letter,  
2. Have 1-12 characters,  
3. Contain only letters (A-Z) and numbers (0-9),  
4. End with /Q for a query (search profile,  
structure, or screen set), /A for an answer  
set, or /L for an L-number list.  
5. Not already be in use as a saved name,  
6. Not be END, SAV, SAVE, SAVED  
7. Not have the form of an L-number (Lnnn).  
ENTER NAME OR (END):ten565066/a  
ANSWER SET L8 HAS BEEN SAVED AS 'TEN565066/A'

=> file caplus		
COST IN U.S. DOLLARS	SINCE FILE	TOTAL
	ENTRY	SESSION
FULL ESTIMATED COST	168.26	472.36
DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE	TOTAL
	ENTRY	SESSION
CA SUBSCRIBER PRICE	0.00	-12.75

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FILE LAST UPDATED: 8 Dec 2006 (20061208/ED)

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=> s l8

L9 14 L8

=> d l9 1-14 bib abs fhitr

L9 ANSWER 1 OF 14 CAPLUS COPYRIGHT 2006 ACS on STN

AN 2006:213224 CAPLUS

DN 144:254134

TI Preparation of fused tricyclic imidazobenzoxazines, imidazoquinolines, triazolobenzoxazines and their analogs for the treatment of psychotic disorders and related diseases

IN Bentley, Jonathan; Bergauer, Markus; Bertani, Barbara; Biagetti, Matteo; Borriello, Manuela; Bromidge, Steven Mark; Gianotti, Massimo; Granci, Enrica; Leslie, Colin Philip; Pasquarello, Alessandra; Zucchelli, Valeria

PA Glaxo Group Limited, UK

SO PCT Int. Appl., 254 pp.

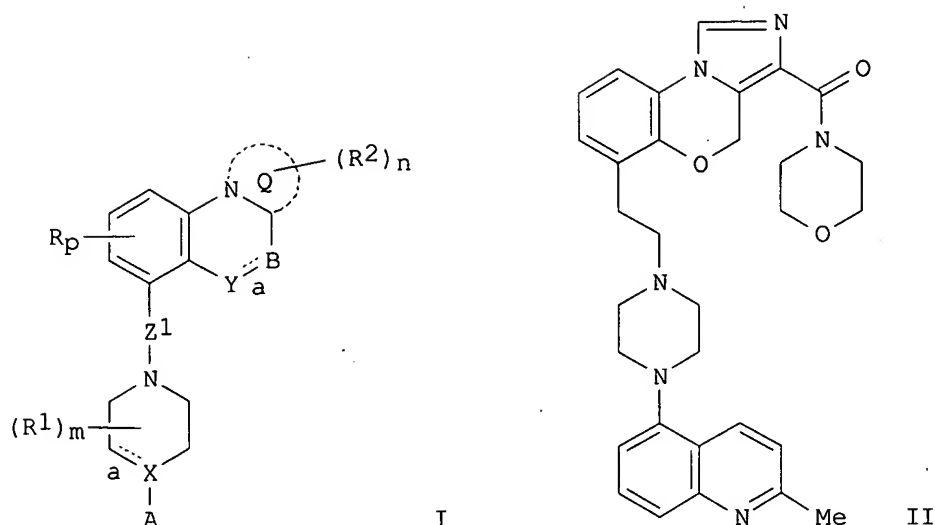
CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2006024517	A1	20060309	WO 2005-EP9379	20050829
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
	RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
PRAI	GB 2004-19315	A	20040831		
	GB 2005-7386	A	20050412		
	GB 2005-15010	A	20050721		
OS	MARPAT 144:254134				
GI					



AB Fused tricyclic compds. I [wherein a = single or double bond; ring Q = (un)substituted 5-membered heteroaryl or heterocyclyl; B = (un)substituted CH or CH<sub>2</sub>; Y = (un)substituted CH<sub>2</sub>, O, etc.; Z1 = ethylene, etc.; X = CR<sub>1</sub> or N when a is a single bond; X = C when a is a double bond; A = (un)substituted indolyl, quinolyl, benzofuranyl, etc.; R = halo, alkyl, cyano, etc.; R<sub>1</sub> = H, halo, alkyl, etc.; R<sub>2</sub> = H, halo, hydroxy, etc. p = 0-2; m, n = 0-3] and salts or prodrugs thereof, which possess high affinity for 5-HT<sub>1</sub> type receptors and/or are serotonin reuptake inhibitors, were prepared. For instance, imidazobenzoxazine carboxamide II was synthesized in 33% yield by condensation of the corresponding acid (preparation given) with morpholine in DMF in the presence of TBTU and DIPEA. In a functional potency assay, II had fpK<sub>i</sub> of 9.7 against 5-HT<sub>1A</sub>. Therefore, the invented compds. are useful for treating or preventing diseases or conditions mediated by modulation of 5-HT<sub>1</sub> receptors and/or serotonin reuptake receptors, such as psychotic disorders.

IT 876921-77-6

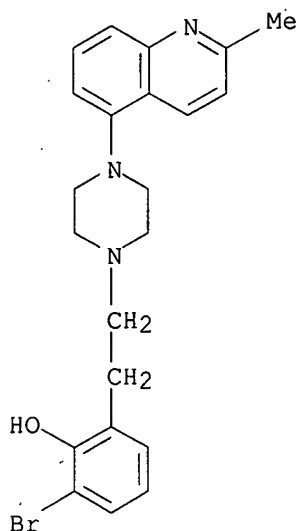
RL: RCT (Reactant); RACT (Reactant or reagent)

(preparation of fused tricyclic imidazobenzoxazines, imidazoquinolines, triazolobenzoxazines and their analogs for treatment of psychotic disorders and related diseases)

RN 876921-77-6 CAPLUS

CN Phenol, 2-bromo-6-[2-[4-(2-methyl-5-quinoliny)-1-piperazinyl]ethyl]-  
(9CI) (CA INDEX NAME)

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RE.CNT 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 2 OF 14 CAPLUS COPYRIGHT 2006 ACS on STN

AN 2005:141036 CAPLUS

DN 142:240449

TI Preparation of quinolines and quinazolines as ligands for 5-HT<sub>1</sub> receptors and their use in the treatment of CNS disorders, in particular serotonin-related disorders

IN Bergauer, Markus; Bertani, Barbara; Biagetti, Matteo; Bromidge, Steven Mark; Falchi, Alessandro; Leslie, Colin Philip; Merlo, Giancarlo; Pizzi, Domenica Antonia; Rinaldi, Marilisa; Stasi, Luigi Piero; Tibasco, Jessica; Vong, Antonio Kuok Keong; Ward, Simon Edward

PA Glaxo Group Limited, UK

SO PCT Int. Appl., 122 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2005014552	A1	20050217	WO 2004-EP8000	20040715
	W:				
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	RW:				
	BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	AU 2004263268	A1	20050217	AU 2004-263268	20040715
	CA 2532452	AA	20050217	CA 2004-2532452	20040715
	EP 1646613	A1	20060419	EP 2004-763307	20040715
	R:				
	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK, HR				
	BR 2004012695	A	20061003	BR 2004-12695	20040715
	CN 1852896	A	20061025	CN 2004-80027057	20040715
	US 2006229312	A1	20061012	US 2006-565066	20060117

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	NO 2006000774	A	20060406	NO 2006-774	20060217
PRAI	GB 2003-16915	A	20030718		
	WO 2004-EP8000	W	20040715		
OS	MARPAT 142:240449				
GI					

\* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

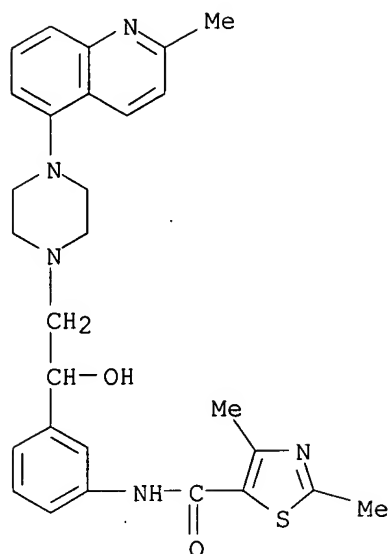
AB Title compds. I [wherein R1 = halo, CN, halo/alkyl, halo/alkoxy; m = 0-4; X = N, CH; R2 = halo, CN, halo/alkyl, halo/alkoxy; n = 0-2; A = [W]p; W = CH2, -CH(alkyl)-, -C(alkyl)(alkyl)-; p = 0-3; Y and Z form together a cycloalkylene group; or Y = CH2, -CH(alkyl)-, -C(alkyl)(alkyl)-; and Z = CH2, CHOH, CHR6, CR6R7; R6, R7 = independently halo, CN, alkyl, alkoxy; R3, R4 = independently H, alkyl, alkylsulfonyl, etc.; or NR3R4 = (un)substituted 3-7-membered monocyclic heterocyclic group or 8-11-membered bicyclic heterocyclic group; R5 = independently halo, CN, alkyl, alkoxy; q = 0-4; and their pharmaceutically acceptable salts] were prepared as ligands for 5-HT1 receptors and/or inhibitors of serotonin reuptake. For instance, II was prepared by acylation of 3-[2-[4-(2-methyl-5-quinolinyl)-1-piperazinyl]ethyl]aniline (preparation given) with propanoyl chloride. Selected I showed high affinity for 5-HT1A, 5-HT1B, and 5-HT1D with pKi values in the range 8.0-10.0 in a radioligand assay. Certain I appear to be 5-HT1 antagonists, while others appear to be inverse agonists, agonists, or partial agonists using the [35S]GTPyS functional assay (no data). Selected I displayed potency at the uptake site of pIC50 > 6.0. Thus, I are useful for treating CNS disorders, in particular serotonin-related disorders such as depression and anxiety, are also disclosed.

IT 844903-87-3P, N-[3-[1-Hydroxy-2-[4-(2-methyl-5-quinolinyl)-1-piperazinyl]ethyl]phenyl]-2,4-dimethyl-1,3-thiazole-5-carboxamide  
RL: PAC (Pharmacological activity); PEP (Physical, engineering or chemical process); PYP (Physical process); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); PROC (Process); USES (Uses)  
(5-HT1 ligand; preparation of quinolines and quinazolines as ligands for 5-HT1 receptors and their use in treatment of CNS and other serotonin-related disorders)

RN 844903-87-3 CAPLUS

CN 5-Thiazolecarboxamide, N-[3-[1-hydroxy-2-[4-(2-methyl-5-quinolinyl)-1-piperazinyl]ethyl]phenyl]-2,4-dimethyl- (9CI) (CA INDEX NAME)

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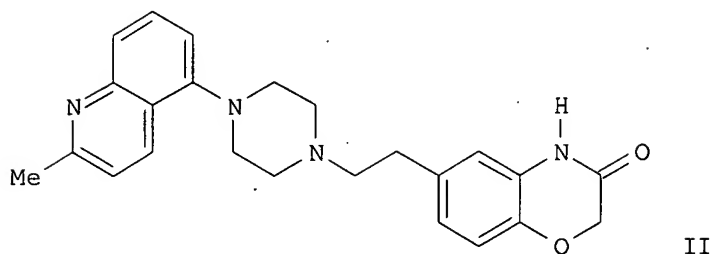
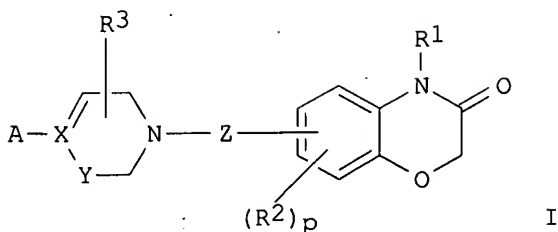


RE.CNT 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 3 OF 14 CAPLUS COPYRIGHT 2006 ACS on STN  
AN 2004:453197 CAPLUS  
DN 141:23540  
TI Preparation of benzoxazinones as ligands for 5-HT1 receptors and their use  
in the treatment of CNS disorders, in particular serotonin-related  
disorders.  
IN Bertani, Barbara; Borriello, Manuela; Bozzoli, Andrea; Bromidge, Steven  
Mark; Granci, Enrica; Leslie, Colin; Serafinowska, Halina; Stasi, Luigi;  
Vong, Antonio; Zucchelli, Valeria  
PA Glaxo Group Limited, UK  
SO PCT Int. Appl., 121 pp.  
CODEN: PIXXD2  
DT Patent  
LA English  
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2004046124	A1	20040603	WO 2003-EP13085	20031120
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
	RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	AU 2003289888	A1	20040615	AU 2003-289888	20031120
	EP 1562917	A1	20050817	EP 2003-782221	20031120
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
	JP 2006513167	T2	20060420	JP 2004-552698	20031120
	US 2006264429	A1	20061123	US 2006-535711	20060207
PRAI	GB 2002-27240	A	20021121		
	WO 2003-EP13085	W	20031120		
OS	MARPAT 141:23540				

GI



AB Title compds. I [wherein A = (un)substituted bicyclic 6,5 or 6,6 hetero/aromatic; R1 = H, halo/cyclo/cycloalkyl/aryl/alkyl, alkenyl, alkynyl; p = 0-2; R2 = independently halo, halo/alkyl, CN, alkanoyl, OH and derivs.; R3 = (R4)r; R4 = halo/hydroxy/alkoxy/cyclo/alkyl, halo, halo/aryl/alkoxy, oxo, CN, NO2, alkylthio, alkoxycarbonyl, alkylsulfonyl, arylsulfonyl, alkylsulfonamido, aroyl, acyl, aryl, etc.; X = CH, N, C; q = 0-2, with the proviso that when q = 0, X is not N; Z = attached to the 6- or 8-position of the benzoxazinone group, and is 3- to 7-membered cycloalkylene, cycloalkenylene, or (CH2)n-Y-(CH2)m; m, n = independently 0-2; Y = single bond, 3- to 7-membered cycloalkenylene, CH:CH, C:O, C(:CH2), O, etc.; provided that when A = naphthyl, 5,6,7,8-tetrahydronaphthyl or 2,3-dihydroindene, Z is not -(CH2CH(OH))- , -(CH2CH2CH(OH))- , -(CH2C(:O))-; and their pharmaceutically acceptable salts] were prepared as ligands for 5-HT1 receptors and/or inhibitors of serotonin reuptake. For example, II was prepared, in 65% yield, by alkylation of 2-methyl-5-(piperazin-1-yl)quinoline (preparation given) with 6-(2-chloroethyl)-4H-benzo[1,4]oxazin-3-one (preparation given) in the presence of NaI/Na2CO3 at 120° for 12 h, and acidulation with an HCl solution in MeOH. Selected I showed high affinity for 5-HT1A, 5-HT1B, and 5-HT1D with pKi values in the range 8.0-10.0 in a radioligand assay. Certain I appear to be 5-HT1 antagonists, while others appear to be inverse agonists, agonists, or partial agonists using the [35S]GTPγS functional assay (no data). Selected I displayed potency at the uptake site of pIC50 > 7.0. Thus, I are useful for treating CNS disorders, in particular serotonin-related disorders such as depression and anxiety, are also disclosed.

IT 698983-31-2P, [4-[3-[4-(2-Methylquinolin-5-yl)piperazin-1-yl]propyl]-2-nitrophenoxy]acetic acid methyl ester

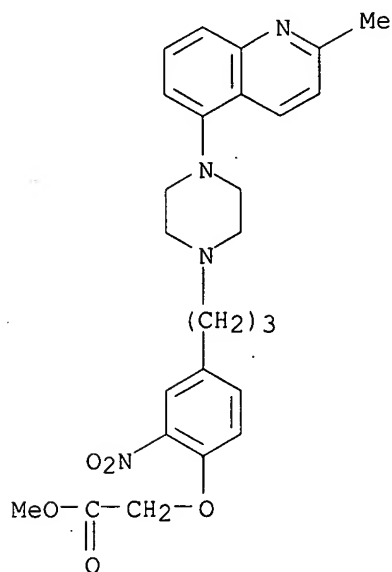
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(intermediate; preparation of benzoxazinones as ligands for 5-HT1 receptors and their use in treatment of CNS and other serotonin-related disorders)

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RN 698983-31-2 CAPLUS

CN Acetic acid, [4-[3-[4-(2-methyl-5-quinolinyl)-1-piperazinyl]propyl]-2-nitrophenoxy]-, methyl ester (9CI) (CA INDEX NAME)



L9 ANSWER 4 OF 14 CAPLUS COPYRIGHT 2006 ACS on STN

AN 2004:354923 CAPLUS

DN 140:375196

TI Preparation of substituted piperazines, [1,4]diazepines, and 2,5-diazabicyclo[2.2.1]heptanes as histamine H1 and/or H3 antagonists or histamine H3 reverse antagonists

IN Ancliff, Rachael; Eldred, Colin David; Fogden, Yvonne C.; Hancock, Ashley Paul; Heightman, Thomas Daniel; Hobbs, Heather; Hodgson, Simon Teanby; Lindon, Matthew J.; Wilson, David Matthew

PA Glaxo Group Limited, UK

SO PCT Int. Appl., 140 pp.

CODEN: PIXXD2

DT Patent

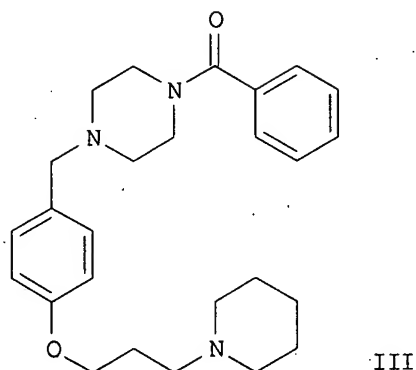
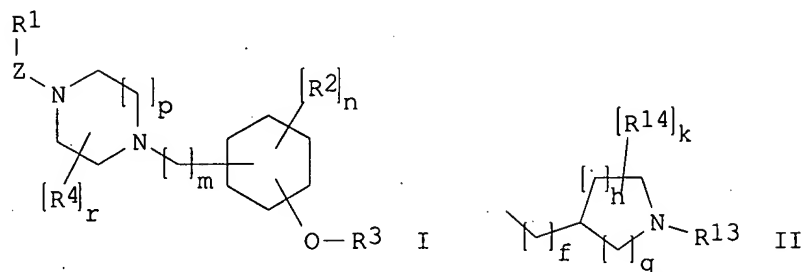
LA English

FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2004035556	A1	20040429	WO 2003-EP11423	20031014
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	RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
	CA 2502249	AA	20040429	CA 2003-2502249	20031014
	AU 2003280380	A1	20040504	AU 2003-280380	20031014
	BR 2003015283	A	20050830	BR 2003-15283	20031014
	EP 1567511	A1	20050831	EP 2003-772221	20031014
	R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK			
	CN 1726201	A	20060125	CN 2003-80106014	20031014

11/291216

	JP 2006508935	T2	20060316	JP 2004-544241	20031014
	NO 2005001689	A	20050707	NO 2005-1689	20050405
	US 2006025404	A1	20060202	US 2005-531758	20050414
PRAI	GB 2002-24084	A	20021016		
	WO 2003-EP11423	W	20031014		
OS	MARPAT 140:375196				
GI					



AB The title compds. [I; R1 = H, alkyl, alkoxy, etc.; Z = a bond, CO, (un)substituted CONH, SO2; p = 1-2; m, n, r = 0-2; R2 = halo, alkyl, alkoxy, etc.; R3 = (CH2)<sub>q</sub>NR11R12, II (wherein q = 2-4; R11, R12 = alkyl, cycloalkyl; NR11R12 = heterocyclyl; R13 = H, alkyl, cycloalkyl, etc.; R14 = halo, alkyl, haloalkyl, etc.; f, k = 0-2; g = 0-2; h = 0-3, such that g and h cannot both be 0); R4 = H, alkyl such that when r = 2, two R4 groups may instead be linked to form CH2, (CH2)<sub>2</sub>, (CH2)<sub>3</sub>; with the provisos], useful in the treatment of neurodegenerative disorders including Alzheimer's disease, and inflammatory diseases of the upper respiratory tract, were prepared Thus, reacting 1-[4-(3-piperidin-1-ylpropoxy)benzyl]piperazine.3HCl (preparation given) with benzoic acid afforded 77% III which was tested in the histamine H3 functional antagonist assay and showed pK<sub>B</sub> of > 6.5. The pharmaceutical composition comprising the compound

I is claimed.

IT 684246-11-5P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of substituted piperazines, [1,4]diazepines, and 2,5-diazabicyclo[2.2.1]heptanes as histamine H1 and/or H3 antagonists or histamine H3 reverse antagonists)

RN 684246-11-5 CAPLUS

CN Quinoline, 8-[4-[2-[4-[3-(1-piperidinyl)propoxy]phenyl]ethyl]-1-

11/291216

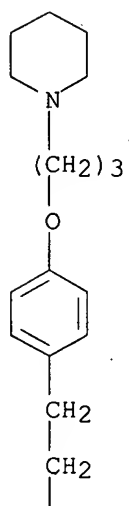
piperazinyl]-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

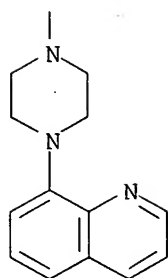
CRN 684246-10-4

CMF C29 H38 N4 O

PAGE 1-A



PAGE 2-A

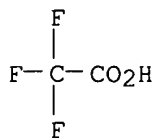


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CRN 76-05-1

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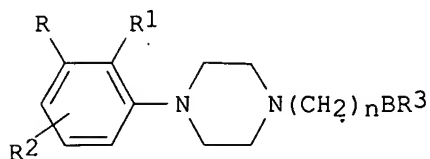
11/291216



RE.CNT 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 5 OF 14 CAPLUS COPYRIGHT 2006 ACS on STN  
AN 2002:185088 CAPLUS  
DN 136:247607  
TI Arylpiperazine derivatives as psychotropic agents  
IN Gottschlich, Rudolf; Dorsch, Dieter; Bartoszyk, Gerd; Harting, Juergen;  
Seyfried, Christoph; Van Amsterdam, Christoph  
PA Merck Patent G.m.b.H., Germany  
SO PCT Int. Appl., 51 pp.  
CODEN: PIXXD2  
DT Patent  
LA German  
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2002020491	A1	20020314	WO 2001-EP9108	20010807
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
DE 10043659	A1	20020314	DE 2000-10043659	20000905
AU 2001091744	A5	20020322	AU 2001-91744	20010807
CA 2421219	AA	20030303	CA 2001-2421219	20010807
BR 2001013581	A	20030715	BR 2001-13581	20010807
EP 1326842	A1	20030716	EP 2001-971882	20010807
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
NO 2003000998	A	20030304	NO 2003-998	20030304
US 2004014972	A1	20040122	US 2003-363168	20030305
ZA 2003002636	A	20040908	ZA 2003-2636	20030403
PRAI DE 2000-10043659	A	20000905		
WO 2001-EP9108	W	20010807		
OS MARPAT 136:247607				
GI				



AB Arylpiperazines I [RR1 = atoms required to complete an (un)substituted ring containing 1-2 N atoms; R2 = H, alkyl, halogen; R3 = (un)substituted Ph, thienyl; B = CO, CHO, CR3OH; n = 1-4] were prepared for use as D2

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antagonists and 5-HT1A agonists (no data). Thus, 1-(8-quinolinyl)piperazine was treated with Cl(CH2)3COC6H4F-4 to give I [RR1 = CH:CHCH:N, R2 = H, R3 = C6H4F-4, B = CO, n = 3].

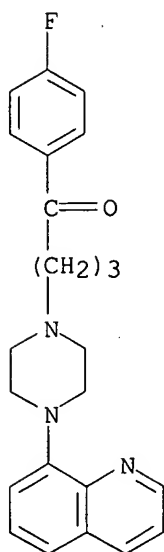
IT 403804-73-9P

RL: RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(preparation of arylpiperazine derivs. as D2 antagonists and 5-HT1A agonists)

RN 403804-73-9 CAPLUS

CN 1-Butanone, 1-(4-fluorophenyl)-4-[4-(8-quinolinyl)-1-piperazinyl]- (9CI)  
(CA INDEX NAME)



RE.CNT 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 6 OF 14 CAPLUS COPYRIGHT 2006 ACS on STN

AN 1999:614134 CAPLUS

DN 131:331740

TI A new class of selective and potent inhibitors of neuronal nitric oxide synthase

AU Lowe, John A., III; Qian, Weimin; Volkmann, Robert A.; Heck, Steven; Nowakowski, Jolanta; Nelson, Robert; Nolan, Charles; Liston, Dane; Ward, Karen; Zorn, Stevin; Johnson, Celeste; Vanase, Michelle; Faraci, W. Stephen; Verdries, Kimberly A.; Baxter, James; Doran, Shawn; Sanders, Martin; Ashton, Mike; Whittle, Peter; Stefaniak, Mark

CS Central Research Division, Pfizer Inc., Groton, CT, 06340, USA

SO Bioorganic & Medicinal Chemistry Letters (1999), 9(17), 2569-2572  
CODEN: BMCLE8; ISSN: 0960-894X

PB Elsevier Science Ltd.

DT Journal

LA English

AB The synthesis and SAR of a series of 6-(4-(substituted)phenyl)-2-aminopyridines as inhibitors of nitric oxide synthase (NOS) are described. One of the compds. from this series shows potent and selective inhibition of the human neuronal NOS (nNOS) isoform, with pharmacokinetics sufficient to provide in vivo inhibition of nNOS activity. It appears that an sp<sup>2</sup> center proximal to the terminal piperazine N is important for selectively inhibiting nNOS over endothelial NOS.

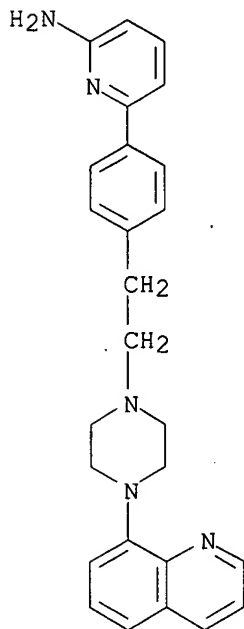
IT 250236-17-0

11/291216

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BIOL (Biological study)  
(preparation of 6-(4-(substituted)phenyl)-2-aminopyridines as selective and potent inhibitors of neuronal NO synthase)

RN 250236-17-0 CAPLUS

CN 2-Pyridinamine, 6-[4-[2-[4-(8-quinolinyl)-1-piperazinyl]ethyl]phenyl]-  
(9CI) (CA INDEX NAME)



RE.CNT 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 7 OF 14 CAPLUS COPYRIGHT 2006 ACS on STN

AN 1994:579615 CAPLUS

DN 121:179615

TI Preparation of heterocyclylpiperazinylalkylcarboxamides as 5-HT1A antagonists

IN Cliffe, Ian Anthony; Brightwell, Christopher Ian; Mansell, Howard Langham; White, Alan Chapman

PA John Wyeth and Brother Ltd., UK

SO PCT Int. Appl., 20 pp.

CODEN: PIXXD2

DT Patent

LA English

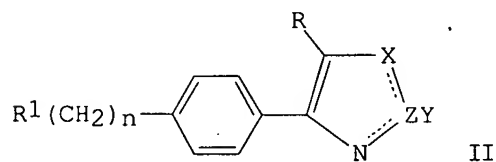
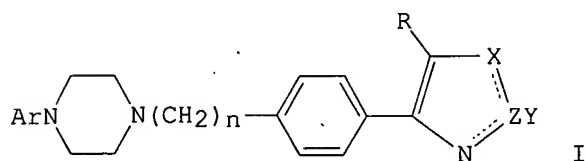
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9415919	A1	19940721	WO 1993-GB2660	19931224
	W: AU, BB, BG, BR, BY, CA, CZ, FI, HU, JP, KP, KR, KZ, LK, MG, MN, MW, NO, NZ, PL, RO, RU, SD, SK, UA, US, VN				
	RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
	AU 9458197	A1	19940815	AU 1994-58197	19931224
	EP 678090	A1	19951025	EP 1994-903945	19931224
	EP 678090	B1	19981014		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE				
	JP 08505156	T2	19960604	JP 1993-515781	19931224
	AT 172193	E	19981015	AT 1994-903945	19931224
	ES 2123756	T3	19990116	ES 1994-903945	19931224

11/291216

TI Preparation of arylpiperazine derivatives as psychotropic agents  
IN Loe, John Adams.  
PA Pfizer Corp., USA  
SO Faming Zhuanli Shenqing Gongkai Shuomingshu, 28 pp.  
CODEN: CNXXEV  
DT Patent  
LA Chinese  
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	CN 88100986	A	19880921	CN 1988-100986	19880215
	CN 1015627	B	19920226		
PRAI	CN 1988-100986		19880215		
OS	MARPAT 110:173259				
GI					



AB Arylpiperazine derivs. [I; Ar = Ph, 3-(F3C)C6H4, naphthyl, etc.; R = H, Cl-3 alkyl; X = N, S, O; ZY = CH, COH, CSH, CNH2, or N, etc., but when ZY = N, X ≠ O; n = 2-4], useful as psychotropic agents (no data), are prepared by substitution of N-arylpiperazine with aralkyl halides II (R1 = halo). Br was added to a solution of 4-(MeCO)C6H4CH2CH2Cl in HOAc at room temperature with stirring to give an oil which was treated with thiourea in Me2CO to give 51% thiazole derivative II.HBr (R = H, R1 = Cl, X = S, ZY = CNH2, n = 2), which was refluxed with N-1-naphthylpiperazine, Et3N, Na2CO3, and NaI in EtOH to give 31% I (Ar = 1-naphthyl, R = H, X = S, ZY = CNH2, n = 2).

IT 120017-28-9P

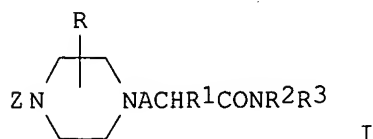
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
(preparation of, as psychotropic agent)

RN 120017-28-9 CAPLUS

CN 2-Thiazolamine, 4-[4-[2-[4-(8-quinoliny)-1-piperazinyl]ethyl]phenyl]-  
(9CI) (CA INDEX NAME)

11/291216

IL 108258	A1	19981206	IL 1994-108258	19940103
US 5627177	A	19970506	US 1995-446601	19950524
PRAI GB 1993-195	A	19930106		
WO 1993-GB2660	W	19931224		
OS MARPAT 121:179615				
GI				



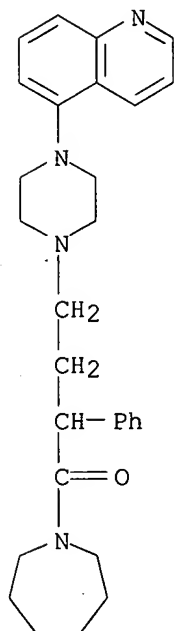
AB Title compds. [I; A = (alkyl-substituted) C1-2 alkylene; Z = (substituted) indolyl, isoindolyl, quinolinyl, isoquinolinyl, indazolyl, benzotriazolyl; R = H, 1-2 alkyl groups; R1 = aryl, arylalkyl; R2 = H, alkyl; R3 = H, alkyl, cycloalkyl, cycloalkylalkyl, aryl, arylalkyl; R2R3N = saturated heterocyclyl], were prepared Thus, Me 4-(1-piperazinyl)indole-2-carboxylate (preparation given), hexahydroazepin-1-yl-4-chloro-2-phenylbutan-1-one, Et3N, and KI were stirred in DMF at 100° to give Me 4-[4-(4-hexahydroazepin-1-yl-4-oxo-3-phenylbutyl)piperazin-1-yl]-1H-indole-2-carboxylate. The latter antagonized 8-OH DPAT syndrome in rats with IC50 = 0.3 mg/kg s.c.

IT 157649-39-3P

RL: SPN (Synthetic preparation); PREP (Preparation)  
(preparation of, as 5-HT1A antagonist)

RN 157649-39-3 CAPLUS

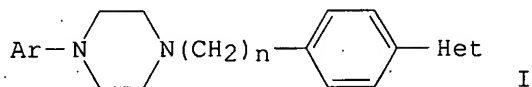
CN 1H-Azepine, hexahydro-1-[1-oxo-2-phenyl-4-[4-(5-quinolinyl)-1-piperazinyl]butyl]- (9CI) (CA INDEX NAME)



L9 ANSWER 8 OF 14 CAPLUS COPYRIGHT 2006 ACS on STN  
AN 1989:173259 CAPLUS  
DN 110:173259

11/291216

JP 06099405	B4	19941207		
PL 157118	B1	19920430	PL 1988-270653	19880215
CA 1312080	A1	19921229	CA 1988-558900	19880215
AU 8811740	A1	19880818	AU 1988-11740	19880216
AU 583761	B2	19890504		
DK 8800788	A	19880818	DK 1988-788	19880216
DK 170878	B1	19960226		
FI 8800716	A	19880818	FI 1988-716	19880216
FI 91752	B	19940429		
FI 91752	C	19940810		
NO 8800667	A	19880818	NO 1988-667	19880216
NO 170582	B	19920727		
NO 170582	C	19921104		
DD 272080	A5	19890927	DD 1988-312959	19880216
ZA 8801064	A	19890927	ZA 1988-1064	19880216
HU 50334	A2	19900129	HU 1988-748	19880216
HU 207731	B	19930528		
CS 272783	B2	19910212	CS 1988-964	19880216
SU 1634136	A3	19910307	SU 1988-4355194	19880216
PRAI WO 1987-US340	A	19870217		
EP 1988-301171	A	19880212		
OS CASREACT 110:8234; MARPAT 110:8234				
GI				

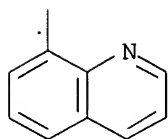
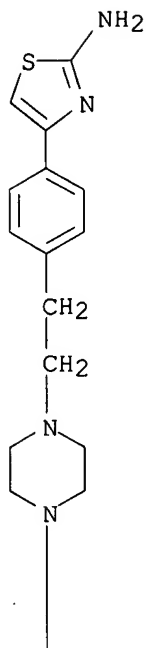


AB The title compds. [I; Ar = Ph, 3-F3CC6H4, 3-NCC6H4, naphthyl, (substituted) heterocyclyl; Het = (substituted) imidazolyl, oxazolyl, thiazolyl, thiadiazolyl, triazolyl; n = 2, 3, 4] useful as antipsychotics (no data), were prepared. A solution of AcCl and AlCl3 in ethylene dichloride was added to PhCH2CH2Cl in ethylene dichloride. The mixture was stirred at room temperature to give 4-(2-chloroethyl)acetophenone. The latter in AcOH was treated with Br and the product was cyclocondensed with H2NCSNH2 to give 4-[4-(2-chloroethyl)phenyl]-2-aminothiazole-HBr. The latter was stirred with N-(1-naphthyl)piperazine, Et3N, Na2CO3, and NaI in EtOH at room temperature for 5 d to give 4-[4-[2-[4-(1-naphthyl)piperazinyl]ethyl]phenyl]-2-aminothiazole.

IT 117943-36-9P  
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (preparation of, as antipsychotic)

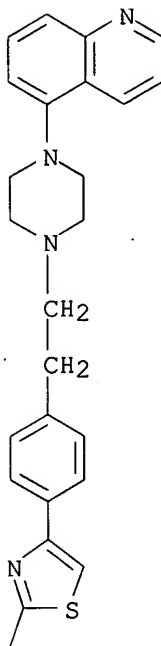
RN 117943-36-9 CAPLUS

CN 2-Thiazolamine, 4-[4-[2-[4-(5-quinolinyl)-1-piperazinyl]ethyl]phenyl]-(9CI) (CA INDEX NAME)



L9 ANSWER 9 OF 14 CAPLUS COPYRIGHT 2006 ACS on STN  
 AN 1989:8234 CAPLUS  
 DN 110:8234  
 TI Preparation of 1-aryl-4-(4-heterocyclylphenyl)piperazines as  
 antipsychotics  
 IN Lowe, John Adams, III  
 PA Pfizer Inc., USA  
 SO Eur. Pat. Appl., 23 pp.  
 CODEN: EPXXDW  
 DT Patent  
 LA English  
 FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	EP 279598	A2	19880824	EP 1988-301171	19880212
	EP 279598	A3	19890726		
	EP 279598	B1	19930915		
	R: AT, BE, CH, DE, ES, FR, GB, GR, IT, LI, LU, NL, SE				
	US 4891375	A	19900102	US 1988-143909	19880113
	IN 171858	A1	19930123	IN 1988-DE64	19880127
	AT 94537	E	19931015	AT 1988-301171	19880212
	ES 2058249	T3	19941101	ES 1988-301171	19880212
	JP 63216875	A2	19880909	JP 1988-32593	19880215



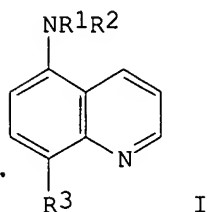
/

H<sub>2</sub>N

L9 ANSWER 10 OF 14 CAPLUS COPYRIGHT 2006 ACS on STN  
 AN 1988:493064 CAPLUS  
 DN 109:93064  
 TI Preparation of aminoquinoline derivatives as antiinflammatory agents and  
 cardiotonics  
 IN Konno, Fujiko; Umehara, Norimitsu; Isomae, Kazuo; Matsuda, Hideaki;  
 Katori, Tatsuhiko  
 PA S. S. Pharmaceutical Co., Ltd., Japan  
 SO Jpn. Kokai Tokkyo Koho, 7 pp.  
 CODEN: JKXXAF  
 DT Patent  
 LA Japanese  
 FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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PI	JP 63054363	A2	19880308	JP 1986-199458	19860826
PRAI	JP 1986-199458		19860826		
OS	MARPAT 109:93064				
GI					

11/291216

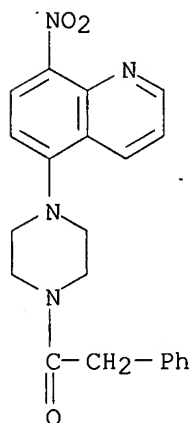


AB The title compds. I [R1 = H; R2 = (substituted) lower alkyl, or NR1R2 may form a (substituted) N-, O-, or S-containing ring; R3 = NO2, amino, acylamino], useful as antiinflammatory agents and cardiotonics, were prepared. A mixture of 2.5 g 5-chloro-8-nitroquinoline and 5.16 g piperazine in 50 mL 2-ethoxyethanol was refluxed for 5 h to give 2.7 g I (NRR1 = 1-piperazinyl, R3 = NO2) (II). At 30 mg/kg orally, II inhibited carrageenin-induced edema in rats by 29.8%.

IT 115687-01-9P  
RL: SPN (Synthetic preparation); PREP (Preparation)  
(preparation of, as antiinflammatory and cardiotonic)

RN 115687-01-9 CAPLUS

CN Piperazine, 1-(8-nitro-5-quinolinyl)-4-(phenylacetyl)- (9CI) (CA INDEX NAME)



L9 ANSWER 11 OF 14 CAPLUS COPYRIGHT 2006 ACS on STN

AN 1983:558276 CAPLUS

DN 99:158276

TI Carbostryril derivatives

PA Otsuka Pharmaceutical Co., Ltd., Japan

SO Jpn. Kokai Tokkyo Koho, 50 pp.  
CODEN: JKXXAF

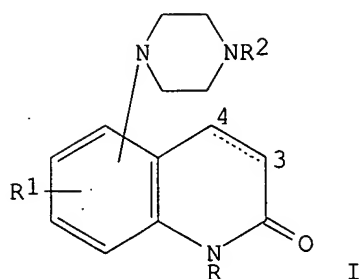
DT Patent

LA Japanese

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	JP 58083677	A2	19830519	JP 1981-181360	19811111
	JP 03014023	B4	19910225		
PRAI	JP 1981-181360		19811111		
OS	CASREACT 99:158276				
GI					

11/291216



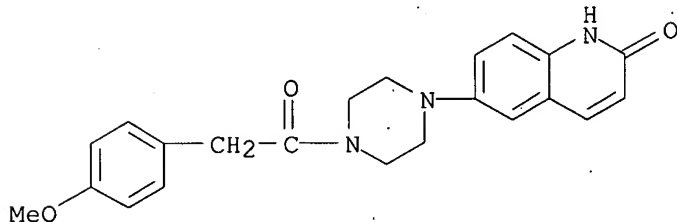
AB Carbostyryl derivs. (I; R = H, alkyl, alkenyl, alkynyl, aralkyl; R1 = H, alkoxy; R2 = H, alkanoyl, furoyl, pyridylcarbonyl, etc.; 3,4-saturated or unsatd.) were prepared I were effective coronary vasodilators at 100 nM-1  $\mu$ M in dogs. Thus, a mixture of 9.36 g 6-amino-3,4-dihydrocarbostyryl and 18 g (BrCH<sub>2</sub>CH<sub>2</sub>)<sub>2</sub>NH $\cdot$ HBr in MeOH was refluxed 15 h, cooled, 3.06 g Na<sub>2</sub>CO<sub>3</sub> added, and the mixture refluxed 8 h to give 9.1 g I $\cdot$ HBr (R = R1 = R2 = H, 3,4-saturated, piperazine at 6-position). Similarly prepared were 148 I and salts.

IT 81839-33-0P

RL: SPN (Synthetic preparation); PREP (Preparation)  
(preparation of)

RN 81839-33-0 CAPLUS

CN Piperazine, 1-(1,2-dihydro-2-oxo-6-quinolinyl)-4-[(4-methoxyphenyl)acetyl]-  
(9CI) (CA INDEX NAME)



L9 ANSWER 12 OF 14 CAPLUS COPYRIGHT 2006 ACS on STN

AN 1983:522327 CAPLUS

DN 99:122327

TI Carbostyryl derivatives

PA Otsuka Pharmaceutical Co., Ltd., Japan

SO Jpn. Kokai Tokkyo Koho, 21 pp.

CODEN: JKXXAF

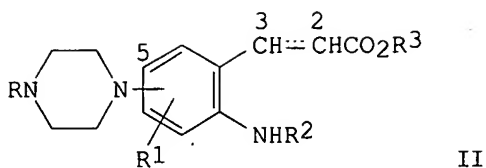
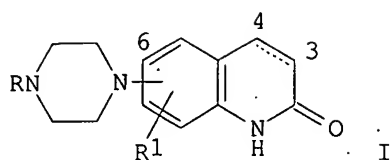
DT Patent

LA Japanese

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	JP 58083678	A2	19830519	JP 1981-181361	19811111
	JP 03014024	B4	19910225		
	JP 01117865	A2	19890510	JP 1988-234284	19880919
	JP 03019230	B4	19910314		
PRAI	JP 1981-181361		19811111		
GI					

11/291216

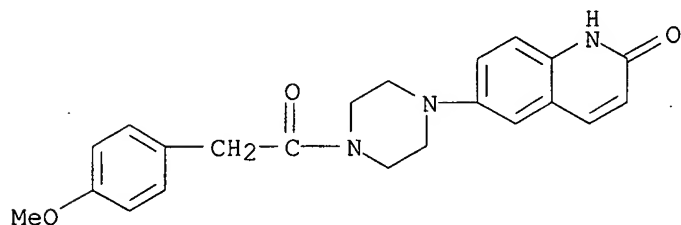


AB Ninety-five carbostyrils (I; R = H, alkanoyl, furoyl, aroyl, etc.; R1 = H, alkoxy; 3,4-saturated or unsatd.) were prepared by cyclization of II (R2 = H, alkanoyl; R3 = H, alkyl). I were effective vasodilators (no data). Thus, 1 mL concentrated HCl was added to a solution of 1 g II (R = 3,4-dimethoxybenzoyl at 5-position; R1 = R2 = R3 = H; 2,3-saturated) in CHCl3-MeOH and the solution stirred 1 h at room temperature to give 500 mg I (R = 3,4-dimethoxybenzoyl at 6-position; R1 = H, 3,4-saturated).

IT 81839-33-0P  
 RL: SPN (Synthetic preparation); PREP (Preparation)  
 (preparation of)

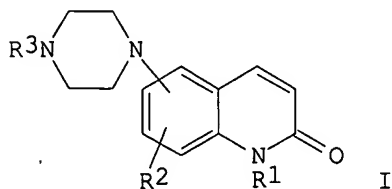
RN 81839-33-0 CAPLUS

CN Piperazine, 1-(1,2-dihydro-2-oxo-6-quinolinyl)-4-[(4-methoxyphenyl)acetyl]-  
 (9CI) (CA INDEX NAME)



L9 ANSWER 13 OF 14 CAPLUS COPYRIGHT 2006 ACS on STN  
 AN 1983:493742 CAPLUS  
 DN 99:93742  
 TI Carbostyrils as cardiotoxic agents  
 PA Otsuka Pharmaceutical Co., Ltd., Japan  
 SO Jpn. Kokai Tokkyo Koho, 40 pp.  
 CODEN: JKXXAF  
 DT Patent  
 LA Japanese  
 FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	JP 58088314	A2	19830526	JP 1981-187162	19811120
	JP 01041128	B4	19890904		
PRAI	JP 1981-187162		19811120		
GI					



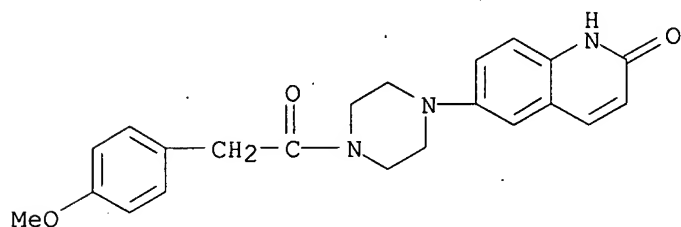
11/291216

AB Carbostyrils I (R1 = H, alkyl, alkenyl, etc.; R2 = H or alkoxy; R3 = H, alkanoyl, alkanesulfonyl, etc.) are prepared as cardiostonic agents, and their formulations presented. Thus, 6-(1-piperazinyl)-3,4-dihydrocarbostyril-HBr [86813-31-2] was prepared by treating 6-amino-3,4-dihydrocarbostyril [22246-13-5] with bis-( $\beta$ -bromoethyl)amine-HBr [43204-63-3]. Tablets containing I, starch, and Mg stearate were prepared

IT 81839-33-0P  
RL: THU (Therapeutic use); BIOL (Biological study); PREP (Preparation);  
USES (Uses)  
(preparation of, as cardiostonic agent)

RN 81839-33-0 CAPLUS

CN Piperazine, 1-(1,2-dihydro-2-oxo-6-quinolinyl)-4-[(4-methoxyphenyl)acetyl]-  
(9CI) (CA INDEX NAME)



L9 ANSWER 14 OF 14 CAPLUS COPYRIGHT 2006 ACS on STN

AN 1982:472386 CAPLUS

DN 97:72386

TI Carbostyril derivatives used as cardiostonic agents and medicines containing them

IN Yang, Yung Hsiung; Tominaga, Michiaki; Nakagawa, Kazuyuki; Ogawa, Hidenori

PA Otsuka Pharmaceutical Co., Ltd., Japan

SO Belg., 103 pp.

CODEN: BEXXAL

DT Patent

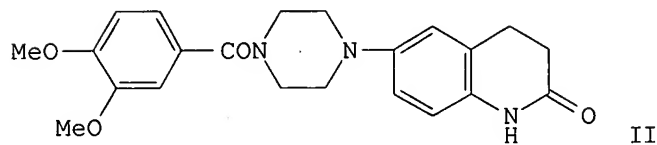
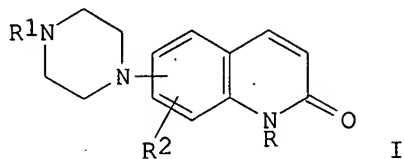
LA French

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	BE 890942	A1	19820215	BE 1981-206407	19811030
	JP 57077676	A2	19820515	JP 1980-154071	19801031
	JP 01043747	B4	19890922		
	DE 3142982	A1	19820624	DE 1981-3142982	19811029
	DE 3142982	C2	19851219		
	ZA 8107515	A	19821027	ZA 1981-7515	19811029
	ES 507198	A1	19830616	ES 1981-507198	19811029
	AT 8104602	A	19860415	AT 1981-4602	19811029
	AT 381701	B	19861125		
	CA 1209575	A1	19860812	CA 1981-389068	19811029
	SU 1426452	A3	19880923	SU 1981-3349303	19811029
	DE 3153260	C2	19890524	DE 1981-3153260	19811029
	DK 8104803	A	19820501	DK 1981-4803	19811030
	DK 155665	B	19890501		
	DK 155665	C	19890904		
	FI 8103408	A	19820501	FI 1981-3408	19811030
	FI 77450	B	19881130		
	FI 77450	C	19890310		
	SE 8106430	A	19820501	SE 1981-6430	19811030
	SE 448877	B	19870323		

11/291216

SE 448877	C	19870702		
NO 8103678	A	19820503	NO 1981-3678	19811030
NO 158099	B	19880405		
NO 158099	C	19880713		
AU 8176996	A1	19820506	AU 1981-76996	19811030
AU 524419	B2	19820916		
FR 2493320	A1	19820507	FR 1981-20470	19811030
FR 2493320	B1	19850823		
NL 8104923	A	19820517	NL 1981-4923	19811030
NL 194205	B	20010501		
NL 194205	C	20010904		
GB 2086896	A	19820519	GB 1981-32743	19811030
GB 2086896	B2	19841010		
US 4415572	A	19831115	US 1981-316572	19811030
CH 650782	A	19850815	CH 1981-6942	19811030
CH 656616	A	19860715	CH 1985-199	19811030
ES 520637	A1	19840416	ES 1983-520637	19830315
ES 520638	A1	19840416	ES 1983-520638	19830315
NL 8403096	A	19850201	NL 1984-3096	19841011
FR 2552760	A1	19850405	FR 1984-16085	19841019
FR 2552760	B1	19880805		
DK 8405619	A	19841127	DK 1984-5619	19841127
DK 159436	B	19901015		
DK 159436	C	19910402		
SE 8406209	A	19841206	SE 1984-6209	19841206
SE 466655	B	19920316		
SE 466655	C	19920716		
PRAI JP 1980-154071	A	19801031		
CH 1981-6942	A	19811030		
DK 1981-4803	A	19811030		
NL 1981-4923	A3	19811030		
OS CASREACT 97:72386; MARPAT 97:72386				
GI				



AB Piperazinocarbostyrils I (R = H, alkyl, alkenyl, alkynyl, phenylalkyl; R1 = H, acyl, alkylsulfenyl, (un)substituted alkyl, alkoxycarbonyl, arylsulfonyl; R2 = H, alkoxy) and their 3,4-dihydro analogs were prepared. Thus, 6-amino-3,4-dihydrocarbostyril was treated with (BrCH<sub>2</sub>CH<sub>2</sub>)<sub>2</sub>NHCOC<sub>6</sub>H<sub>3</sub>(OMe)<sub>2</sub>-3,4 to give II which at 100 nmoles intraarterially in dogs gave a 79.6% change in the contraction of the atrial muscle and a change in coronary output of 1.2 mL/min.

IT 81839-33-0P

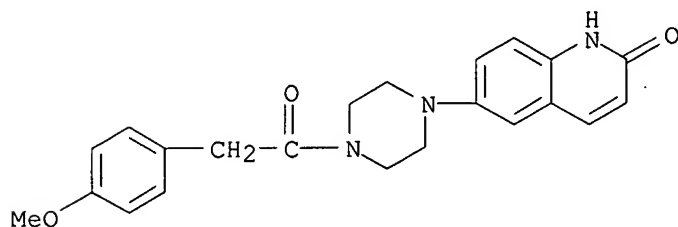
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)

11/291216

(preparation and cardiostimulant activity of)

RN 81839-33-0 CAPLUS

CN Piperazine, 1-(1,2-dihydro-2-oxo-6-quinolinyl)-4-[(4-methoxyphenyl)acetyl]-  
(9CI) (CA INDEX NAME)



=> d 19 5-7 9 10 bib hitstr

L9 ANSWER 5 OF 14 CAPLUS COPYRIGHT 2006 ACS on STN

AN 2002:185088 CAPLUS

DN 136:247607

TI Arylpiperazine derivatives as psychotropic agents

IN Gottschlich, Rudolf; Dorsch, Dieter; Bartoszyk, Gerd; Harting, Juergen;  
Seyfried, Christoph; Van Amsterdam, Christoph

PA Merck Patent G.m.b.H., Germany

SO PCT Int. Appl., 51 pp.

CODEN: PIXXD2

DT Patent

LA German

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2002020491	A1	20020314	WO 2001-EP9108	20010807
	W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
	RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
	DE 10043659	A1	20020314	DE 2000-10043659	20000905
	AU 2001091744	A5	20020322	AU 2001-91744	20010807
	CA 2421219	AA	20030303	CA 2001-2421219	20010807
	BR 2001013581	A	20030715	BR 2001-13581	20010807
	EP 1326842	A1	20030716	EP 2001-971882	20010807
	R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR			
	NO 2003000998	A	20030304	NO 2003-998	20030304
	US 2004014972	A1	20040122	US 2003-363168	20030305
	ZA 2003002636	A	20040908	ZA 2003-2636	20030403
PRAI	DE 2000-10043659	A	20000905		
	WO 2001-EP9108	W	20010807		

OS MARPAT 136:247607

IT 403804-73-9P 403804-79-5P 403804-81-9P

403804-83-1P

RL: RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use);

BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent);

USES (Uses)

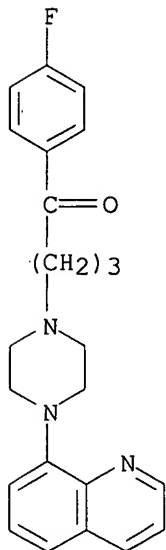
(preparation of arylpiperazine derivs. as D2 antagonists and 5-HT1A

11/291216

agonists)

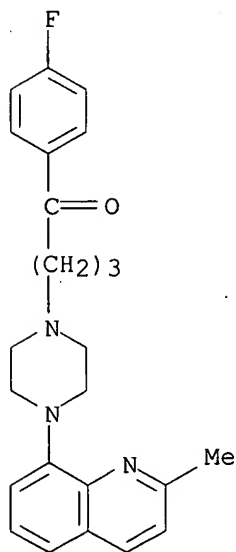
RN 403804-73-9 CAPLUS

CN 1-Butanone, 1-(4-fluorophenyl)-4-[4-(8-quinolinyl)-1-piperazinyl]- (9CI)  
(CA INDEX NAME)



RN 403804-79-5 CAPLUS

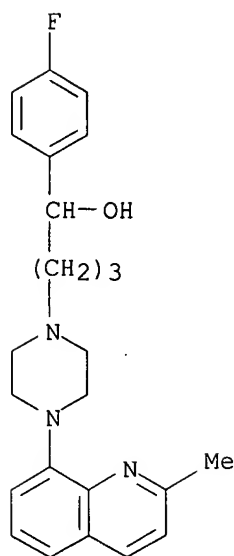
CN 1-Butanone, 1-(4-fluorophenyl)-4-[4-(2-methyl-8-quinolinyl)-1-piperazinyl]- (9CI) (CA INDEX NAME)



RN 403804-81-9 CAPLUS

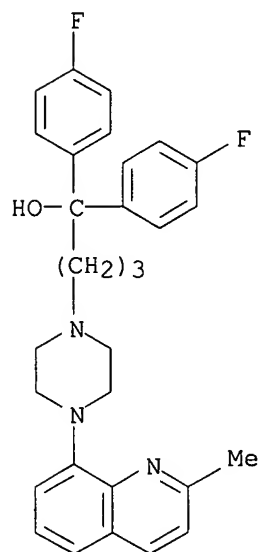
CN 1-Piperazinebutanol,  $\alpha$ -(4-fluorophenyl)-4-(2-methyl-8-quinolinyl)- (9CI) (CA INDEX NAME)

11/291216



RN 403804-83-1 CAPLUS

CN 1-Piperazinebutanol,  $\alpha,\alpha$ -bis(4-fluorophenyl)-4-(2-methyl-8-quinolinyl)- (9CI) (CA INDEX NAME)



IT 403804-74-0P 403804-75-1P 403804-76-2P

403804-78-4P 403804-80-8P 403804-82-0P

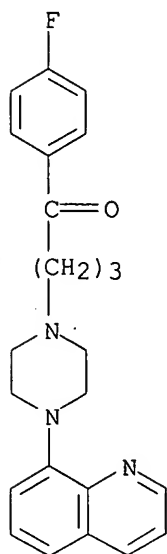
403804-84-2P 403804-89-7P

RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
(preparation of arylpiperazine derivs. as D2 antagonists and 5-HT1A agonists)

RN 403804-74-0 CAPLUS

CN 1-Butanone, 1-(4-fluorophenyl)-4-[4-(8-quinolinyl)-1-piperazinyl]-, trihydrochloride (9CI) (CA INDEX NAME)

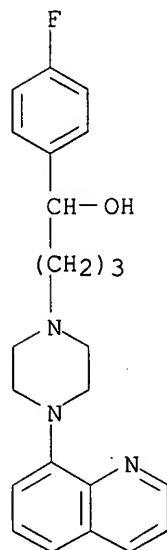
11/291216



● 3 HCl

RN 403804-75-1 CAPLUS

CN 1-Piperazinebutanol,  $\alpha$ -(4-fluorophenyl)-4-(8-quinolinyl)- (9CI) (CA INDEX NAME)



RN 403804-76-2 CAPLUS

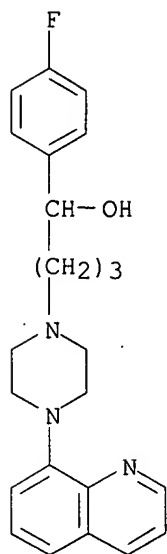
CN 1-Piperazinebutanol,  $\alpha$ -(4-fluorophenyl)-4-(8-quinolinyl)-, (2E)-2-butenedioate (1:1) (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 403804-75-1

CMF C23 H26 F N3 O

11/291216

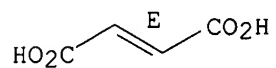


CM 2

CRN 110-17-8

CMF C4 H4 O4

Double bond geometry as shown.



RN 403804-78-4 CAPLUS

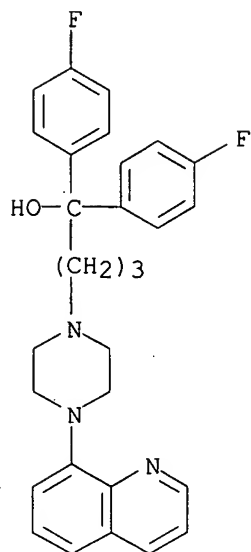
CN 1-Piperazinebutanol,  $\alpha,\alpha$ -bis(4-fluorophenyl)-4-(8-quinolinyl)-  
, (2E)-2-butenedioate (1:1) (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 403804-77-3

CMF C29 H29 F2 N3 O

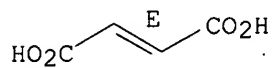
11/291216



CM 2

CRN 110-17-8  
CMF C4 H4 O4

Double bond geometry as shown.



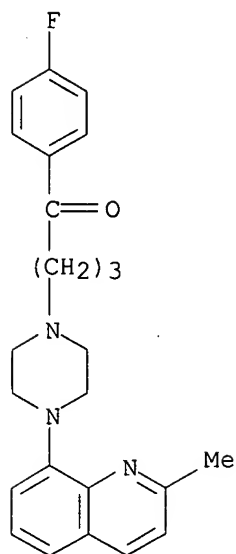
RN 403804-80-8 CAPLUS

CN 1-Butanone, 1-(4-fluorophenyl)-4-[4-(2-methyl-8-quinolinyl)-1-piperazinyl]-  
, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 403804-79-5  
CMF C24 H26 F N3 O

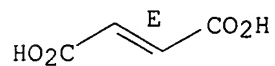
11/291216



CM 2

CRN 110-17-8  
CMF C4 H4 O4

Double bond geometry as shown.

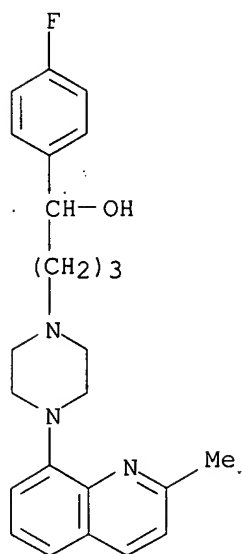


RN 403804-82-0 CAPLUS  
CN 1-Piperazinebutanol,  $\alpha$ -(4-fluorophenyl)-4-(2-methyl-8-quinolinyl)-,  
(2E)-2-butenedioate (2:3) (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 403804-81-9  
CMF C24 H28 F N3 O

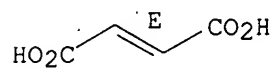
11/291216



CM 2

CRN 110-17-8  
CMF C4 H4 O4

Double bond geometry as shown.

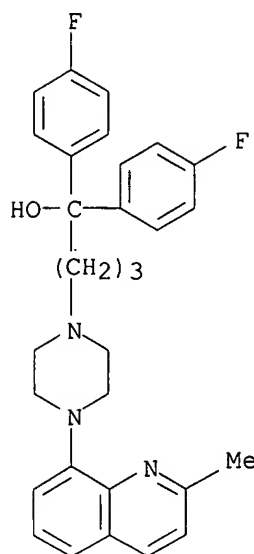


RN 403804-84-2 CAPLUS  
CN 1-Piperazinebutanol,  $\alpha,\alpha$ -bis(4-fluorophenyl)-4-(2-methyl-8-quinolinyl)-, (2E)-2-butenedioate (2:1) (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 403804-83-1  
CMF C30 H31 F2 N3 O

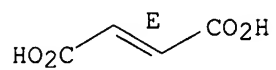
11/291216



CM 2

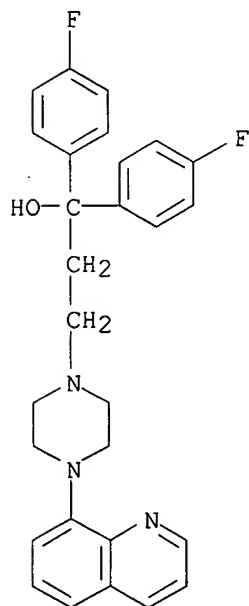
CRN 110-17-8  
CMF C4 H4 O4

Double bond geometry as shown.



RN 403804-89-7 CAPLUS

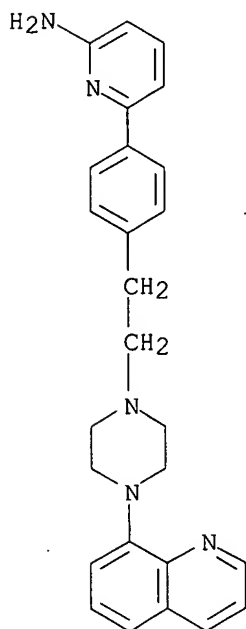
CN 1-Piperazinepropanol,  $\alpha,\alpha$ -bis(4-fluorophenyl)-4-(8-quinolinyl)-  
(9CI) (CA INDEX NAME)



11/291216

RE.CNT 6      THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9    ANSWER 6 OF 14    CAPLUS    COPYRIGHT 2006 ACS on STN  
AN    1999:614134    CAPLUS  
DN    131:331740  
TI    A new class of selective and potent inhibitors of neuronal nitric oxide  
      synthase  
AU    Lowe, John A., III; Qian, Weimin; Volkmann, Robert A.; Heck, Steven;  
      Nowakowski, Jolanta; Nelson, Robert; Nolan, Charles; Liston, Dane; Ward,  
      Karen; Zorn, Stevin; Johnson, Celeste; Vanase, Michelle; Faraci, W.  
      Stephen; Verdries, Kimberly A.; Baxter, James; Doran, Shawn; Sanders,  
      Martin; Ashton, Mike; Whittle, Peter; Stefaniak, Mark  
CS    Central Research Division, Pfizer Inc., Groton, CT, 06340, USA  
SO    Bioorganic & Medicinal Chemistry Letters (1999), 9(17), 2569-2572  
      CODEN: BMCLE8; ISSN: 0960-894X  
PB    Elsevier Science Ltd.  
DT    Journal  
LA    English  
IT    250236-17-0  
      RL: BAC (Biological activity or effector, except adverse); BSU (Biological  
      study, unclassified); BIOL (Biological study)  
      (preparation of 6-(4-(substituted)phenyl)-2-aminopyridines as selective and  
      potent inhibitors of neuronal NO synthase)  
RN    250236-17-0    CAPLUS  
CN    2-Pyridinamine, 6-[4-[2-[4-(8-quinolinyl)-1-piperazinyl]ethyl]phenyl]-  
      (9CI)    (CA INDEX NAME)



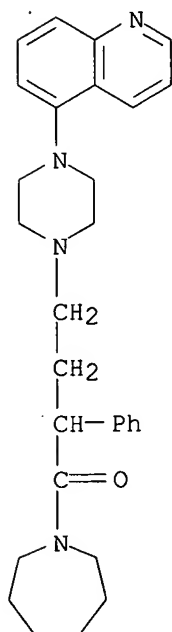
RE.CNT 9      THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9    ANSWER 7 OF 14    CAPLUS    COPYRIGHT 2006 ACS on STN  
AN    1994:579615    CAPLUS  
DN    121:179615  
TI    Preparation of heterocyclylpiperazinylalkylcarboxamides as 5-HT1A  
      antagonists  
IN    Cliffe, Ian Anthony; Brightwell, Christopher Ian; Mansell, Howard Langham;

11/291216

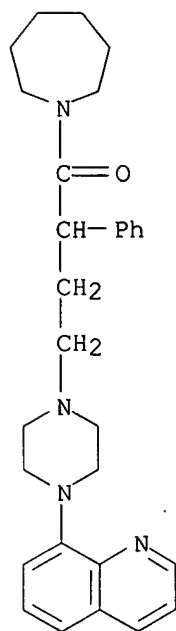
White, Alan Chapman  
PA John Wyeth and Brother Ltd., UK  
SO PCT Int. Appl., 20 pp.  
CODEN: PIXXD2  
DT Patent  
LA English  
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9415919	A1	19940721	WO 1993-GB2660	19931224
	W: AU, BB, BG, BR, BY, CA, CZ, FI, HU, JP, KP, KR, KZ, LK, MG, MN, MW, NO, NZ, PL, RO, RU, SD, SK, UA, US, VN				
	RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
	AU 9458197	A1	19940815	AU 1994-58197	19931224
	EP 678090	A1	19951025	EP 1994-903945	19931224
	EP 678090	B1	19981014		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE				
	JP 08505156	T2	19960604	JP 1993-515781	19931224
	AT 172193	E	19981015	AT 1994-903945	19931224
	ES 2123756	T3	19990116	ES 1994-903945	19931224
	IL 108258	A1	19981206	IL 1994-108258	19940103
	US 5627177	A	19970506	US 1995-446601	19950524
PRAI	GB 1993-195	A	19930106		
	WO 1993-GB2660	W	19931224		
OS	MARPAT 121:179615				
IT	157649-39-3P 157649-40-6P 157649-46-2P 157649-47-3P				
	RL: SPN (Synthetic preparation); PREP (Preparation) (preparation of, as 5-HT1A antagonist)				
RN	157649-39-3 CAPLUS				
CN	1H-Azepine, hexahydro-1-[1-oxo-2-phenyl-4-[4-(5-quinolinyl)-1-piperazinyl]butyl]- (9CI) (CA INDEX NAME)				

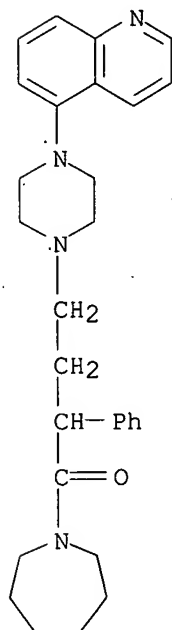


RN 157649-40-6 CAPLUS  
CN 1H-Azepine, hexahydro-1-[1-oxo-2-phenyl-4-[4-(8-quinolinyl)-1-piperazinyl]butyl]- (9CI) (CA INDEX NAME)

11/291216



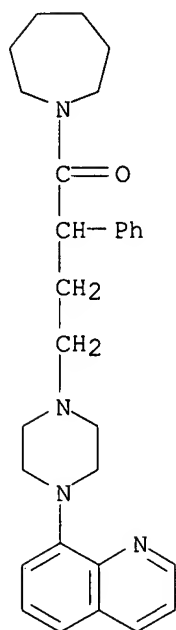
RN 157649-46-2 CAPLUS  
CN 1H-Azepine, hexahydro-1-[1-oxo-2-phenyl-4-[4-(5-quinolinyl)-1-piperazinyl]butyl]-, dihydrochloride (9CI) (CA INDEX NAME)



● 2 HCl

RN 157649-47-3 CAPLUS  
CN 1H-Azepine, hexahydro-1-[1-oxo-2-phenyl-4-[4-(8-quinolinyl)-1-piperazinyl]butyl]-, hydrochloride (2:11) (9CI) (CA INDEX NAME)

11/291216



● 11/2 HCl

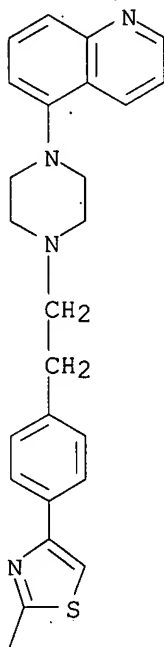
L9 ANSWER 9 OF 14 CAPLUS COPYRIGHT 2006 ACS on STN  
 AN 1989:8234 CAPLUS  
 DN 110:8234  
 TI Preparation of 1-aryl-4-(4-heterocyclylphenyl)piperazines as  
 antipsychotics  
 IN Lowe, John Adams, III  
 PA Pfizer Inc., USA  
 SO Eur. Pat. Appl., 23 pp.  
 CODEN: EPXXDW  
 DT Patent  
 LA English  
 FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	EP 279598	A2	19880824	EP 1988-301171	19880212
	EP 279598	A3	19890726		
	EP 279598	B1	19930915		
	R: AT, BE, CH, DE, ES, FR, GB, GR, IT, LI, LU, NL, SE				
	US 4891375	A	19900102	US 1988-143909	19880113
	IN 171858	A1	19930123	IN 1988-DE64	19880127
	AT 94537	E	19931015	AT 1988-301171	19880212
	ES 2058249	T3	19941101	ES 1988-301171	19880212
	JP 63216875	A2	19880909	JP 1988-32593	19880215
	JP 06099405	B4	19941207		
	PL 157118	B1	19920430	PL 1988-270653	19880215
	CA 1312080	A1	19921229	CA 1988-558900	19880215
	AU 8811740	A1	19880818	AU 1988-11740	19880216
	AU 583761	B2	19890504		
	DK 8800788	A	19880818	DK 1988-788	19880216
	DK 170878	B1	19960226		
	FI 8800716	A	19880818	FI 1988-716	19880216
	FI 91752	B	19940429		
	FI 91752	C	19940810		
	NO 8800667	A	19880818	NO 1988-667	19880216
	NO 170582	B	19920727		
	NO 170582	C	19921104		
	DD 272080	A5	19890927	DD 1988-312959	19880216

11/291216

ZA 8801064	A	19890927	ZA 1988-1064	19880216
HU 50334	A2	19900129	HU 1988-748	19880216
HU 207731	B	19930528		
CS 272783	B2	19910212	CS 1988-964	19880216
SU 1634136	A3	19910307	SU 1988-4355194	19880216
PRAI WO 1987-US340	A	19870217		
EP 1988-301171	A	19880212		
OS CASREACT 110:8234; MARPAT 110:8234				
IT 117943-36-9P				
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (preparation of, as antipsychotic)				
RN 117943-36-9 CAPLUS				
CN 2-Thiazolamine, 4-[4-[2-[4-(5-quinolinyl)-1-piperazinyl]ethyl]phenyl]-(9CI) (CA INDEX NAME)				

PAGE 1-A



PAGE 2-A

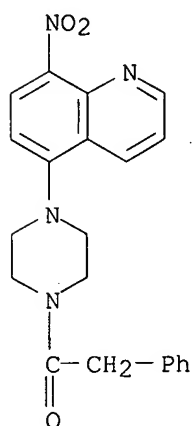
H<sub>2</sub>N

L9 ANSWER 10 OF 14 CAPLUS COPYRIGHT 2006 ACS on STN  
AN 1988:493064 CAPLUS  
DN 109:93064  
TI Preparation of aminoquinoline derivatives as antiinflammatory agents and cardiotonics  
IN Konno, Fujiko; Umehara, Norimitsu; Isomae, Kazuo; Matsuda, Hideaki; Katori, Tatsuhiko  
PA S. S. Pharmaceutical Co., Ltd., Japan  
SO Jpn. Kokai Tokkyo Koho, 7 pp.

11/291216

CODEN: JKXXAF  
DT Patent  
LA Japanese  
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	JP 63054363	A2	19880308	JP 1986-199458	19860826
PRAI	JP 1986-199458		19860826		
OS	MARPAT 109:93064				
IT	115687-01-9P				
	RL: SPN (Synthetic preparation); PREP (Preparation) (preparation of, as antiinflammatory and cardiotonic)				
RN	115687-01-9	CAPLUS			
CN	Piperazine, 1-(8-nitro-5-quinolinyl)-4-(phenylacetyl)- (9CI) (CA INDEX NAME)				



=> file caold  
COST IN U.S. DOLLARS

SINCE FILE ENTRY	TOTAL SESSION
94.15	566.51

FULL ESTIMATED COST

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)

SINCE FILE ENTRY	TOTAL SESSION
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L1 STRUCTURE UPLOADED

L2 17 S L1

L3 301 S L1 SSS FULL

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L4 17 S L3

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L5 1 S L3

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SAVE L3 TEN499011/A

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L6 STRUCTURE UPLOADED

L7 3 S L6

L8 321 S L6 SSS FULL

SAVE L8 TEN565066/A

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L9 14 S L8

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L10 0 L8

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COST IN U.S. DOLLARS

SINCE FILE

TOTAL

ENTRY

SESSION

FULL ESTIMATED COST

0.44

566.95

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)

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ENTRY

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